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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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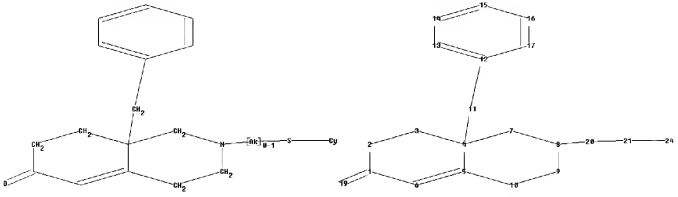

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ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-10  7-8  8-9  9-10  12-13  12-17  13-14  14-15
15-16  16-17
exact/norm bonds :
1-19  8-20  20-21  21-24
exact bonds :
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normalized bonds :
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isolated ring systems :
containing 1 : 12 :


Match level :
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21:CLASS  24:Atom



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=> dis l4 1-4 bib abs fhitstr

L4   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2008:232071  CAPLUS  Full-text
DN   148:440269
TI   1H-Pyrazolo[3,4-g]hexahydro-isoquinolines as selective glucocorticoid
     receptor antagonists with high functional activity
AU   Clark, Robin D.; Ray, Nicholas C.; Williams, Karen; Blaney, Paul; Ward,
     Stuart; Crackett, Peter H.; Hurley, Christopher; Dyke, Hazel J.; Clark,
     David E.; Lockey, Peter; Devos, Rene; Wong, Melanie; Porres, Soraya S.;
     Bright, Colin P.; Jenkins, Robert E.; Belanoff, Joseph
CS   Corcept Therapeutics, Menlo Park, CA, 94025, USA
SO   Bioorganic & Medicinal Chemistry Letters (2008), 18(4), 1312-1317
     CODEN: BMCLE8; ISSN: 0960-894X
PB   Elsevier Ltd.
DT   Journal
LA   English
OS   CASREACT 148:440269
AB   Addition of the 4-fluorophenylpyrazole group to the previously described 2-
     azadecalin glucocorticoid receptor (GR) antagonist 1 resulted in significantly
     enhanced functional activity.  SAR of the bridgehead substituent indicated
     that whereas groups as small as Me afforded high GR binding, GR functional
     activity was enhanced by larger groups such as benzyl, substituted ethers, and
     aminoalkyl derivs.  GR antagonists with binding and functional activity
     comparable to mifepristone were discovered (e.g., 52: GR binding Ki 0.7 nM; GR
     reporter gene functional Ki 0.6 nM) and found to be highly selective over
     other steroid receptors.  Analogs 43 and 45 had >50% oral bioavailability in
     the dog.
IT   864973-54-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (1H-pyrazolo[3,4-g]hexahydro-isoquinolines as selective glucocorticoid
        receptor antagonists)
RN   864973-54-6  CAPLUS
CN   6(2H)-Isoquinolinone, 2-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-
     1,3,4,7,8,8a-hexahydro-8a-(phenylmethyl)-, (8aR)-  (CA INDEX NAME)

Absolute stereochemistry.
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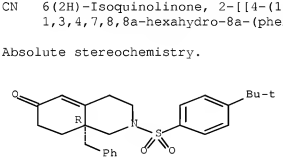


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RE.CNT  17    THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4   ANSWER 2 OF 4  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2007:1051323  CAPLUS  Full-text
DN   147:534024
TI   2-Benzenesulfonyl-8a-benzyl-hexahydro-2H-isoquinolin-6-ones as selective
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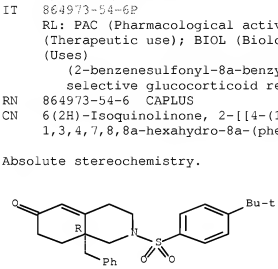
glucocorticoid receptor antagonists
AU Clark, Robin D.; Ray, Nicholas C.; Blaney, Paul; Crackett, Peter H.; Hurley, Christopher; Williams, Karen; Dyke, Hazel J.; Clark, David E.; Lockey, Peter M.; Devos, Rene; Wong, Melanie; White, Anne; Belanoff, Joseph K.
CS Corcept Therapeutics, Menlo Park, CA, 94025, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(20), 5704-5708
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 147:534024
AB The 2-azadecalin ring system was evaluated as a scaffold for the preparation of glucocorticoid receptor (GR) antagonists. High affinity, selective GR antagonists were discovered based on a hypothetical binding mode related to the steroidal GR antagonist RU-43044. 2-Benzenesulfonyl substituted 8a-benzyl-hexahydro-2H-isoquinolin-6-ones exemplified by (R)-37 had low nanomolar affinity for GR with moderate functional activity (200 nM) in a reporter gene assay. These compds. were devoid of affinity for other steroidal receptors (ER, AR, MR, and PR). Analogs based on an alternative putative binding mode (CP-like) were found to be inactive.
IT 864973-54-6P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-benzenesulfonyl-8a-benzyl-hexahydro-2H-isoquinolin-6-ones as selective glucocorticoid receptor antagonists)
RN 864973-54-6 CAPLUS
CN 6(2H)-Isoquinolinone, 2-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1,3,4,7,8,8a-hexahydro-8a-(phenylmethyl)-, (8aR)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:1021750 CAPLUS Full-text
DN 143:306309
TI Preparation of triazacyclopenta[b]naphthalene derivatives as modulators of glucocorticoid receptor
IN Clark, Robin D.; Ray, Nicholas C.; Blaney, Paul M.; Hurley, Christopher A.; Williams, Karen
PA Corcept Therapeutics, Inc., USA
SO PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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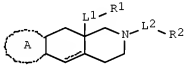
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2005222421 A1 20050922 AU 2005-222421 20050309
CA 2558899 A1 20050922 CA 2005-2558899 20050309
EP 1735308 A1 20061227 EP 2005-725295 20050309
EP 1735308 B1 20080910
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
CN 101027301 A 20070829 CN 2005-80011481 20050309
JP 2007528417 T 20071011 JP 2007-503030 20050309
AT 407934 T 20080915 AT 2005-725295 20050309
ES 2313317 T3 20090301 ES 2005-725295 20050309
ZA 2006008306 A 20090225 ZA 2006-8306 20061005
KR 2007029684 A 20070314 KR 2006-720988 20061009
IN 2006CN03745 A 20070615 IN 2006-CN3745 20061009
US 20070281928 A1 20071206 US 2007-591884 20070507
HK 1104813 A1 20090403 HK 2007-106903 20070627
PRAI US 2004-551836P P 20040309
WO 2005-US8049 W 20050309
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 143:306309; MARPAT 143:306309
GI



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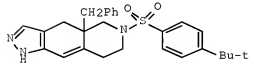


II

AB Title compds. I [L1 and L2 independently = a bond, O, S, etc.; A = (un)substituted 5-6 membered heterocycloalkyl or heteroaryl; R1 = H, (un)substituted alkyl, heteroalkyl, etc.; R2 = (un)substituted alkyl, heteroalkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of glucocorticoid receptor. Thus, II was prepared by cyclization of (S)-8a-benzyl-2-(4-tert-butyl-benzenesulfonyl)-7-[1-hydroxy-meth-(Z)- ylidene]-1,3,4,7,8,8a-hexahydro-2H-isoquinolin-6-one

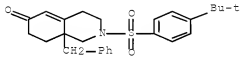
(preparation given) with hydrazine hydrate. The activity of I was evaluated in glucocorticoid receptor binding assay and it was revealed that selected compds. of the invention displayed IC50 values in the range of 10 up to 100 nm and others below 10 nM. Pharmaceutical compns. comprising I are disclosed.

IT 861629-54-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of triazacyclopenta[b]naphthalene derivs. as modulators of glucocorticoid receptor)

RN 861629-54-1 CAPLUS

CN 6(2H)-Isoquinolinone, 2-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1,3,4,7,8,8a-hexahydro-8a-(phenylmethyl)- (CA INDEX NAME)



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RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:696879 CAPLUS Full-text
DN 143:193917
TI Preparation of azadecalin derivatives as glucocorticoid receptor modulators
IN Clark, Robin D.; Ray, Nicholas C.; Blaney, Paul; Hurley, Christopher; Williams, Karen; Hunt, Hazel; Clark, David
PA Corcept Therapeutics, Inc., USA
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005070893	A2	20050804	WO 2005-US607	20050110
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	CA 2552419	A1	20050804	CA 2005-2552419	20050110
	EP 1761497	A2	20070314	EP 2005-711316	20050110
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	JP 2007517894	T	20070705	JP 2006-549454	20050110

AT 407122	T	20080915	AT 2005-711316	20050110
ES 2313296	T3	20090301	ES 2005-711316	20050110
ZA 2006005634	A	20071227	ZA 2006-5634	20060707
NO 2006003456	A	20060926	NO 2006-3456	20060726
CN 101119970	A	20080206	CN 2005-80004074	20060804
KR 2007009561	A	20070118	KR 2006-716079	20060809
US 20070203179	A1	20070830	US 2007-596998	20070308
HK 1097409	A1	20090116	HK 2007-103009	20070320
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 143:193917; MARPAT 143:193917

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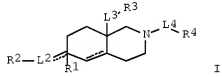

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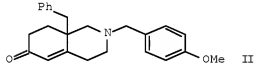


II

AB Title compds. I [L2-4 = bond, alkylene, etc.; R1 = absent, H, alkyl, heteroalkyl, etc.; R2 = :O, :N-alkoxy, divalent alkylidene, etc.; R3-4 = alkyl, heteroalkyl, cycloalkyl, etc.;] are prepared For instance, II is prepared in several steps from 1-benzyl-4-oxopiperidine-3-carboxylic acid Me ester•HCl, benzyl bromide, Me vinyl ketone and 4-methoxybenzyl bromide. I are glucocorticoid receptor modulators [no data].

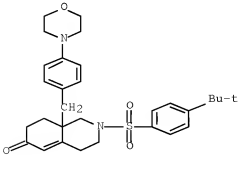
IT 956913-48-7

RL: PRPH (Prophetic)

(Preparation of azadecalin derivatives as glucocorticoid receptor modulators)

RN 956913-48-7 CAPLUS

CN 6(2H)-Isoquinolinone, 2-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1,3,4,7,8,8a-hexahydro-8a-[[4-(4-morpholinyl)phenyl]methyl]- (CA INDEX NAME)



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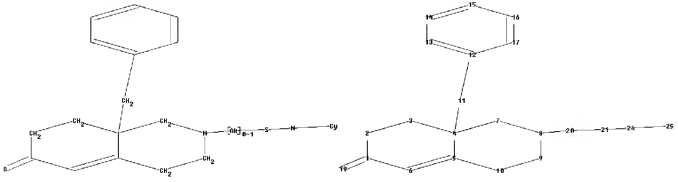

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ring nodes :
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chain bonds :
1-19  4-11  8-20  11-12  20-21  21-24  24-25
ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-10  7-8  8-9  9-10  12-13  12-17  13-14  14-15
15-16  16-17
exact/norm bonds :
1-19  8-20  20-21  21-24  24-25
exact bonds :
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normalized bonds :
12-13  12-17  13-14  14-15  15-16  16-17
isolated ring systems :
containing 1 : 12 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
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=> s l8
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L10           0 L9 AND PD< JAN 2004

=> dis l9 bib abs fhitstr

L9   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2007:1051323  CAPLUS  Full-text
DN   147:534024
TI   2-Benzenesulfonyl-8a-benzyl-hexahydro-2H-isoquinolin-6-ones as selective
     glucocorticoid receptor antagonists
AU   Clark, Robin D.; Ray, Nicholas C.; Blaney, Paul; Crackett, Peter H.;
     Hurley, Christopher; Williams, Karen; Dyke, Hazel J.; Clark, David E.;
     Lockey, Peter M.; Devos, Rene; Wong, Melanie; White, Anne; Belanoff,
     Joseph K.
CS   Corcept Therapeutics, Menlo Park, CA, 94025, USA
SO   Bioorganic & Medicinal Chemistry Letters (2007), 17(20), 5704-5708
     CODEN: BMCLE8; ISSN: 0960-894X
PB   Elsevier Ltd.
DT   Journal
LA   English
OS   CASREACT 147:534024
AB    The 2-azadecalin ring system was evaluated as a scaffold for the preparation
      of glucocorticoid receptor (GR) antagonists.  High affinity, selective GR
      antagonists were discovered based on a hypothetical binding mode related to
      the steroidal GR antagonist RU-43044. 2-Benzenesulfonyl substituted 8a-benzyl-
      hexahydro-2H-isoquinolin-6-ones exemplified by (R)-37 had low nanomolar
      affinity for GR with moderate functional activity (200 nM) in a reporter gene
      assay.  These compds. were devoid of affinity for other steroidal receptors
      (ER, AR, MR, and PR).  Analogs based on an alternative putative binding mode
      (CP-like) were found to be inactive.
IT   861630-27-5P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (2-benzenesulfonyl-8a-benzyl-hexahydro-2H-isoquinolin-6-ones as
        selective glucocorticoid receptor antagonists)
RN   861630-27-5  CAPLUS
CN   2(1H)-Isoquinolinesulfonamide, 3,4,6,7,8,8a-hexahydro-6-oxo-N-phenyl-8a-
     (phenylmethyl)-  (CA INDEX NAME)
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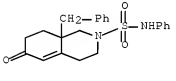


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OSC.G   3     THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
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L9   ANSWER 2 OF 2  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2005:696879  CAPLUS  Full-text
DN   143:193917
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TI Preparation of azadecalin derivatives as glucocorticoid receptor modulators
IN Clark, Robin D.; Ray, Nicholas C.; Blaney, Paul; Hurley, Christopher; Williams, Karen; Hunt, Hazel; Clark, David
PA Corcept Therapeutics, Inc., USA
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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	AU 2005206497	A1	20050804	AU 2005-206497	20050110
	CA 2552419	A1	20050804	CA 2005-2552419	20050110
	EP 1761497	A2	20070314	EP 2005-711316	20050110
	EP 1761497	B1	20080903		
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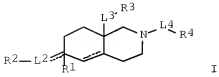
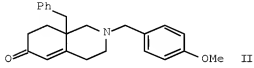
	JP 2007517894	T	20070705	JP 2006-549454	20050110
	AT 407122	T	20080915	AT 2005-711316	20050110
	ES 2313296	T3	20090301	ES 2005-711316	20050110
	ZA 2006005634	A	20071227	ZA 2006-5634	20060707
	NO 2006003456	A	20060926	NO 2006-3456	20060726
	CN 101119970	A	20080206	CN 2005-80004074	20060804
	KR 2007009561	A	20070118	KR 2006-716079	20060809
	US 20070203179	A1	20070830	US 2007-596998	20070308
	HK 1097409	A1	20090116	HK 2007-103009	20070320
PRAI	US 2004-535460P	P	20040109		
	WO 2005-US607	W	20050110		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 143:193917; MARPAT 143:193917
GI



I



II

AB Title compds. I [L2-4 = bond, alkylene, etc.; R1 = absent, H, alkyl, heteroalkyl, etc.; R2 = :O, :N-alkoxy, divalent alkylidene, etc.; R3-4 = alkyl, heteroalkyl, cycloalkyl, etc.;] are prepared For instance, II is prepared in several steps from 1-benzyl-4-oxopiperidine-3-carboxylic acid Me ester•HCl, benzyl bromide, Me vinyl ketone and 4-methoxybenzyl bromide. I are glucocorticoid receptor modulators [no data].

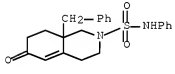
IT 861630-27-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azadecalin derivs. as glucocorticoid receptor modulators)

RN 861630-27-5 CAPLUS

CN 2(1H)-Isoquinolinesulfonamide, 3,4,6,7,8,8a-hexahydro-6-oxo-N-phenyl-8a-(phenylmethyl)- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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